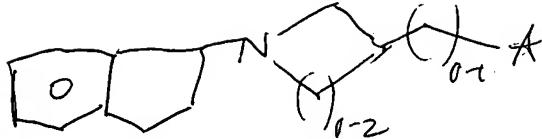


10536730

Starch



Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS

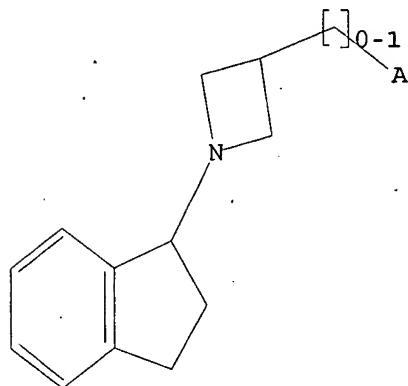
A is as defined in
claims

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:35:40 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 137 TO ITERATE

100.0% PROCESSED 137 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2038 TO 3442

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 10:35:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2767 TO ITERATE

100.0% PROCESSED 2767 ITERATIONS
SEARCH TIME: 00.00.01

15 ANSWERS

L3 15 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

SINCE FILE
ENTRY TOTAL
SESSION

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FULL ESTIMATED COST	166.94	167.15
---------------------	--------	--------

FILE 'CAPLUS' ENTERED AT 10:35:51 ON 27 OCT 2006
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 27 Oct 2006 VOL 145 ISS 18
FILE LAST UPDATED: 25 Oct 2006 (20061025/ED)

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<http://www.cas.org/infopolicy.html>

```
=> s 13
L4           1 L3
=> d ibib abs hitstr 1
```

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
 ACESSION NUMBER: 20041566538 CAPLUS
 DOCUMENT NUMBER: 141:123484
 TITLE: Preparation of 1-(amino)indanes and
 (1,2-dihydro-3-amino)-benzofurans, benzothiophenes
 and
 INVENTOR(S): Doherty, George A.; Hale, Jeffrey J.; Mills, Sander
 G.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058149	A2	20040715	WO 2003-US40129	2003-12-16
WO 2004058149	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TZ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG	CA 2509218	AA	20040715	CA 2003-2509218 20031216
AU 2003207232	A1	20040722	AU 2003-297232 20031216	
EP 1518159	A2	20051005	EP 2003-814075 20031216	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006511579	T2	20060406	JP 2004-563642 20031216	
US 2006161005	A1	20060720	US 2005-536730 20050527	
PRIORITY APPLN. INFO.:			US 2002-435381P P 20021220	
			WO 2003-US40129 W 20031216	

OTHER SOURCE(S): MARPAT 141:123484
 GI

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 721948-70-5 CAPLUS
 CN 3-Azetidinene-carboxylic acid,
 1-[2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-
 1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
 CM 1
 CRN 721948-69-2
 CMF C25 H27 N3 O3

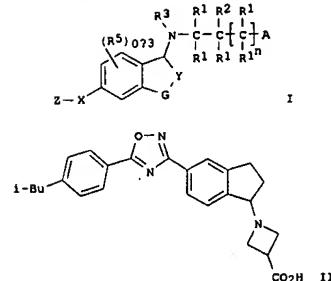
CM 2
 CRN 76-05-1
 CMF C2 H27 N3 O2

RN 721948-71-6 CAPLUS
 CN 3-Azetidinene-carboxylic acid, 1-[2,3-dihydro-5-[5-(4-phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

RN 721948-72-7 CAPLUS
 CN 3-Azetidinene-carboxylic acid, 1-[2,3-dihydro-6-methyl-5-[4-phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Karen Cheng

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

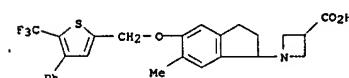


AB Compds. of formula I [G = C(R4)2, O, S, SO, SO2; X = Ph, alkyl, etc.; Y = (C(R4))p; Z = alkyl, heterocyclo, etc.; A = CO2H, PO3H2, SO3H, tetrazolyl, etc.; each R1 = H, halo, OH, alkyl, alkoxy; R2 = H, halo, OH, alkyl, alkoxy; R3 = H, alkyl; R2R3 = (substituted) alkylene; R4 = H, alkyl; R5 = halo, alkyl, alkoxy; n = 0-1; p = 1-3] are prepared as EDG receptor agonists. The compds. are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical compns. and methods of use are included. Thus, II was prepared from azetidine-3-carboxylic acid and the prepared indanone derivative. The prepared compds. had > 100-fold selectivity of EDG1 over EDG3.

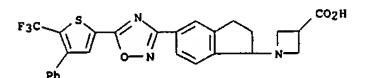
IT 721948-69-2P 721948-70-5P 721948-71-6P
 721948-72-7P 721948-73-8P 721948-79-4P
 721948-80-7P 721948-81-8P 721948-82-9P
 721948-85-2P 721948-86-3P 721948-87-4P
 721948-88-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminoindanes as immunosuppressants)

RN 721948-69-2 CAPLUS
 CN 3-Azetidinene-carboxylic acid,
 1-[2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-
 1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

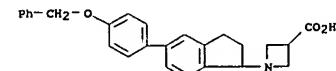
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



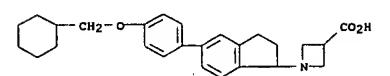
RN 721948-73-8 CAPLUS
 CN 3-Azetidinene-carboxylic acid, 1-[2,3-dihydro-5-[5-(4-phenyl-5-(trifluoromethyl)-2-thienyl)-2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-79-4 CAPLUS
 CN 3-Azetidinene-carboxylic acid,
 1-[2,3-dihydro-5-[4-(phenylmethoxy)phenyl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



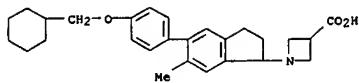
RN 721948-80-7 CAPLUS
 CN 3-Azetidinene-carboxylic acid,
 1-[5-(4-(cyclohexylmethoxy)phenyl)-2,3-dihydro-
 1H-inden-1-yl]- (9CI) (CA INDEX NAME)



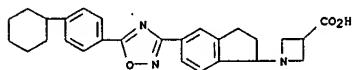
RN 721948-81-8 CAPLUS
 CN 3-Azetidinene-carboxylic acid,
 1-[5-(4-(cyclohexylmethoxy)phenyl)-2,3-dihydro-
 6-methyl-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

10536730

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

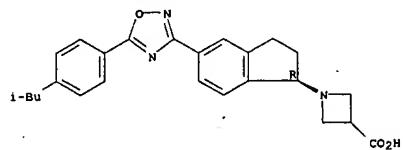


RN 721948-82-9 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[5-(4-cyclohexylphenyl)-1,2,4-oxadiazol-3-yl]-2,3-dihydro-1H-inden-1-yl]-(9CI) (CA INDEX NAME)



RN 721948-85-2 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



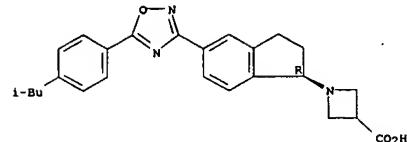
RN 721948-86-3 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-85-2
CMF C25 H27 N3 O3

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



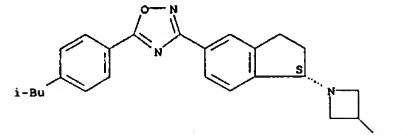
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 721948-87-4 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 721948-88-5 CAPLUS

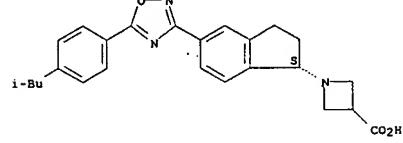
CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-87-4

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C25 H27 N3 O3

Absolute stereochemistry.



CM 2

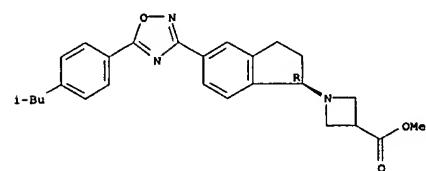
CRN 76-05-1
CMF C2 H F3 O2



IT 721949-02-6P 721949-03-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoindanes as immunosuppressants)

RN 721949-02-6 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-methyl ester (9CI) (CA INDEX NAME)

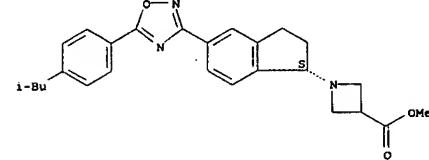
Absolute stereochemistry.



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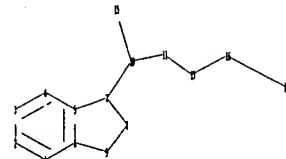
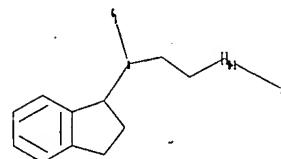
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



10536730

=>
Uploading C:\Program Files\Stnexp\Queries\10536730b.str



chain nodes :
17
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
10 11 13 15 16
chain bonds :
7-10 16-17
ring/chain bonds :
10-11 10-15 11-13 13-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
7-10 10-11 10-15 11-13 13-16 16-17
exact bonds :
5-7 6-9 7-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 10 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:CLASS 15:CLASS 16:CLASS 17:CLASS

Karen Cheng

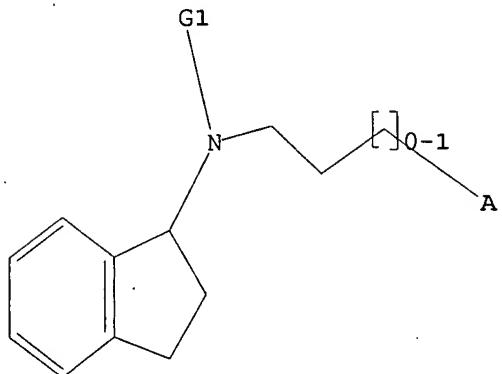
10536730

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



G1 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 15 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

GENERIC GROUP NOT VALID HERE
COMMAND STACK INTERRUPTED. ENTER "DISPLAY HISTORY"
TO SEE WHICH COMMANDS WERE EXECUTED.

Generic groups may not be used in these circumstances:

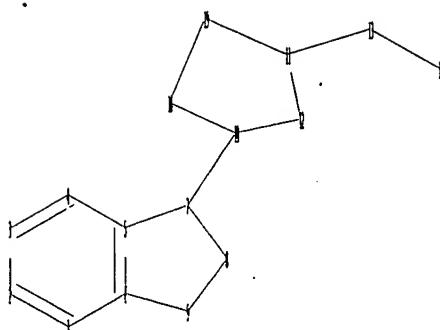
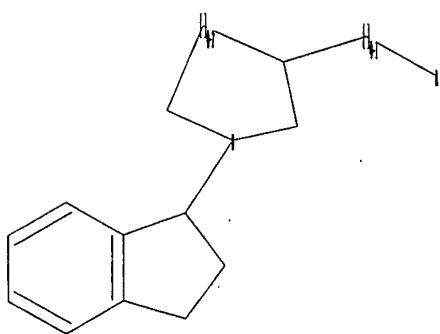
1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

=>

=>

Uploading C:\Program Files\Stnexp\Queries\10536730c.str

10536730



chain nodes :

13 14

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 18 19

chain bonds :

7-10 11-13 13-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-12 10-18 11-12 11-19 18-19

exact/norm bonds :

7-10 10-12 10-18 13-14

exact bonds :

5-7 6-9 7-8 8-9 11-13 11-12 11-19 18-19

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 10 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 18:CLASS 19:Atom

L6 STRUCTURE UPLOADED

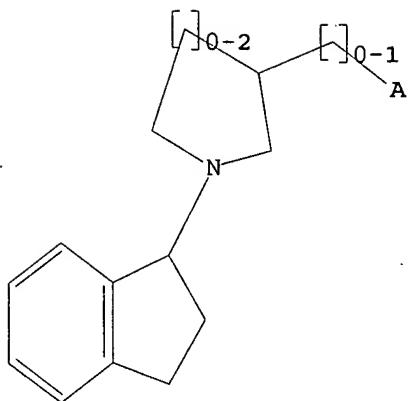
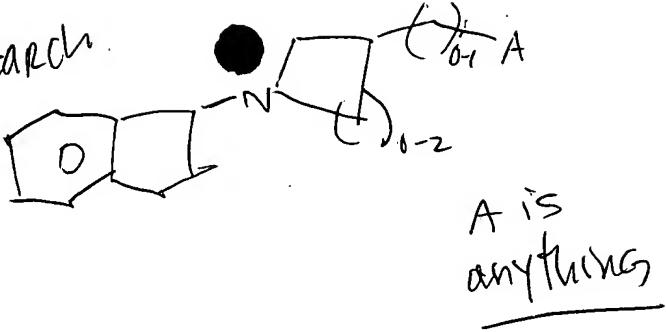
=> d 16

L6 HAS NO ANSWERS

L6 STR

10536730

Search



Structure attributes must be viewed using STN Express query preparation.

=> s 16 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:44:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 28703 TO ITERATE

100.0% PROCESSED 28703 ITERATIONS
SEARCH TIME: 00.00.01

139 ANSWERS

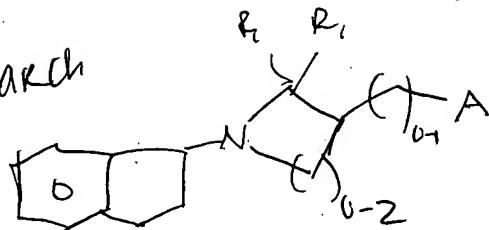
L7 139 SEA SSS FUL L6

L8 28 L7

=>
Uploading C:\Program Files\Stnexp\Queries\10536730d.str

10536730

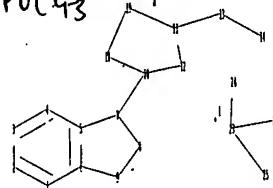
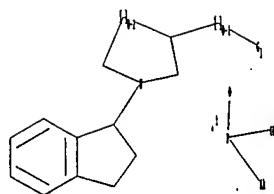
SEARCH



do not
define R_1

A is as
defined in
claims

CO_2H , $-\text{PO}_3\text{H}_2$, $-\text{SO}_3\text{H}$,
 $-\text{PO}(\text{C}_3\text{H}_7)_2\text{OM}$; Met



chain nodes :

13 14 23 24 25 26

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 18 19

chain bonds :

7-10 11-13 13-14 23-24 23-25 23-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-12 10-18 11-12 11-19 18-19

exact/norm bonds :

7-10 10-12 10-18 13-14 23-24 23-25 23-26

exact bonds :

5-7 6-9 7-8 8-9 11-13 11-12 11-19 18-19

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 10 :

G1:Hy, COOH, PO3H2, SO3H, [*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 18:CLASS 19:Atom 23:CLASS 24:CLASS
25:CLASS 26:CLASS

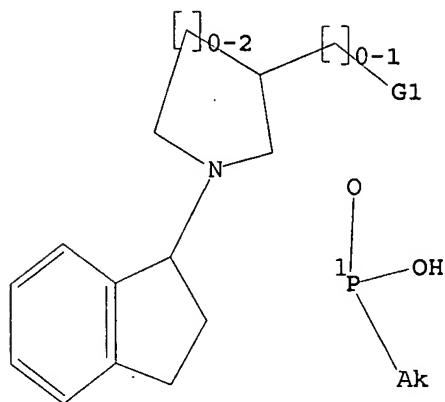
L9 STRUCTURE UPLOADED

=> d 19

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10536730

L9 HAS NO ANSWERS
L9 STR



G1 Hy, COOH, PO3H2, SO3H, [@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l9 full sub=17 REGISTRY INITIATED
 current search previous structure in the hits of previous search
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SUBSET SEARCH INITIATED 10:48:09 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 139 TO ITERATE

100.0% PROCESSED 139 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L10 20 SEA SUB=L7 SSS FUL L9

SUBSET IS IGNORED AS A SCOPE FOR THIS SEARCH
L11 3 L10

=> d ibib abs hitstr 1-3

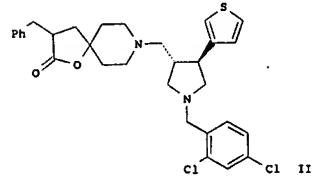
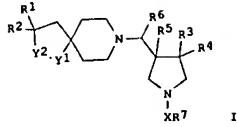
10536730

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:566610 CAPLUS
 DOCUMENT NUMBER: 141:123578
 TITLE: Preparation of 4-(spiro(piperidinyl)methyl)pyrrolidines as modulators of chemokine receptor activity
 INVENTOR(S): Bao, Jianming; Beresis, Richard; Berger, Richard; Colletti, Steven L.; Miao, Shouwu; Parsons, William H.; Rupprecht, Kathleen M.; Johanson, Jill N.;
 Kayser, Frank; Kovacs, Ernest W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058763	A1	WO 2003-US40491	20031219	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MR, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, EM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG AU 2003301095	A1	20040722	AU 2003-301095	20031219
PRIORITY APPLN. INFO.:			US 2002-436127P	P 20021223
			WO 2003-US40491	W 20031219

OTHER SOURCE(S): MARPAT 141:123578
 GI

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



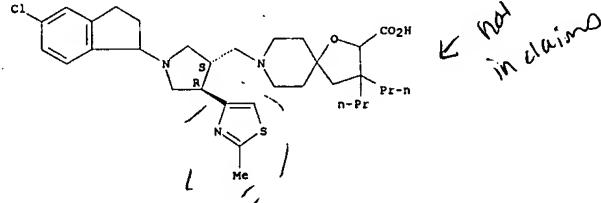
AB Title compds. I [$X = (\text{CH}_2)_1\text{-}3, \text{CO, CHR}; R = \text{alkyl}$; one of Y_1 and $Y_2 = O$, the other = (un)substituted CH_2 ; $R_1 = H$, (un)substituted alkyl, alkenyl, aralkyl, acyl, CO_2H ; $R_2 = H$, alkyl, alkenyl, CH_2Ph ; $R_1\text{R}_2 = (\text{un})substituted \text{CH}_2$, $\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2$, $\text{CH}_2\text{CH}_2\text{MeCH}_2\text{CH}_2$; $R_3 = (\text{un})substituted \text{Ph}$, heterocyclic, alkenyl, alkynyl, cycloalkyl, CO_2H , CN , acyl, acyloxy, carbamoyloxy; $R_4\text{R}_6 = H$, alkyl; $R_7 = (\text{un})substituted \text{aryl}$, heterocyclic; $XR^7 = \text{substituted indanyl}$] were prepared for use as modulators of the chemokine receptors CCR-3 and/or CCR-5 (no data). Thus, tert.-Bu 3-benzyl-2-oxo-1-oxa-8-azaspiro[4.5]decane-8-carboxylate was prepared from tert.-Bu 4-oxopiperidine-1-carboxylate by methylation, ring enlargement with $\text{CH}_2(\text{CO}_2\text{Et})_2$, and benzylation and was treated with (3R,4S)-1-(2,4-dichlorobenzyl)-4-thien-3-ylpyrrolidine-3-carboxaldehyde to give the title compound II.

IT RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-(spiro(piperidinyl)methyl)pyrrolidines as modulators of chemokine receptor activity)

RN 723288-67-3 CAPLUS
 CN 1-Oxa-8-azaspiro[4.5]decane-2-carboxylic acid, 8-[(3R,4R)-1-(5-chloro-2,3-

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinylmethyl]-3,3-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry:



L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:566538 CAPLUS
 DOCUMENT NUMBER: 141:123484
 TITLE: Preparation of 1-(aminoindanes and (1,2-dihydro-3-amino)-benzofurans, benzothiophenes

and
 INVENTOR(S): Doherty, George A.; Hale, Jeffrey J.; Mills, Sander G.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058149	A2	20040715	WO 2003-US40129	20031216
WO 2004058149	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG CA 2509218	AA	20040715	CA 2003-2509218	20031216
AU 2003297232	A1	20040722	AU 2003-297232	20031216
EP 1581509	A2	20051005	EP 2003-814075	20031216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006511579	T2	20060406	JP 2004-563642	20031216
US 2006161005	A1	20060720	US 2005-536730	20050527

PRIORITY APPLN. INFO.: US 2002-435381P P 20021220

WO 2003-US40129 W 20031216

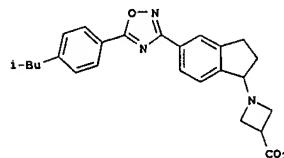
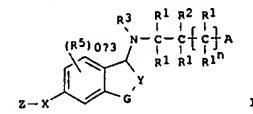
OTHER SOURCE(S): MARPAT 141:123484
 GI

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L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



AB Compds. of formula I [G = C(R4)2, O, S, SO2; X = Ph, alkyl, etc.; Y = (C(R4))p; Z = alkyl, heterocyclo, etc.; A = CO2H, PO3H2, SO3H, tetrazolyl, etc.; each R1 = H, halo, OH, alkoxy; R2 = H, halo, OH, alkyl, alkoxy; R3 = H, alkyl; R2R3 = (substituted) alkylene; R4 = H, alkyl; R5 = halo, alkyl, alkoxy; n = 0-1; p = 1-3] are prepared as EDG receptor agonists. The compds. are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical compns. and methods of use are included.

Thus, II was prepared from azetidine-3-carboxylic acid and the prepared indanone derivative. The prepared compds. had > 100-fold selectivity of EDG1 over EDG3.

IT 721948-69-2P 721948-70-5P 721948-71-6P

721948-72-7P 721948-73-8P 721948-77-2P

721948-79-3P 721948-79-4P 721948-80-7P

721948-81-8P 721948-82-9P 721948-83-0P

721948-85-2P 721948-86-3P 721948-87-4P

721948-88-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of aminoindanes as immunosuppressants)

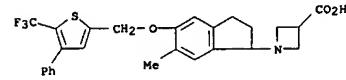
RN 721948-69-2 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

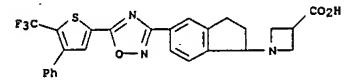
RN 721948-72-7 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-6-methyl-5-[(4-phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-73-8 CAPLUS

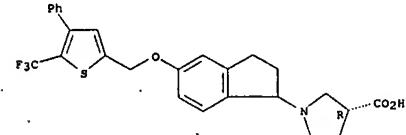
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[5-(4-phenyl-5-(trifluoromethyl)-2-thienyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-77-2 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2,3-dihydro-5-[5-(4-phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

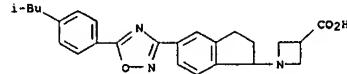


RN 721948-78-3 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2,3-dihydro-5-[5-(4-phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

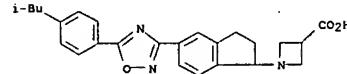


RN 721948-70-5 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[5-(4-(2-methylpropyl)phenyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-69-2

CMF C25 H27 N3 O3



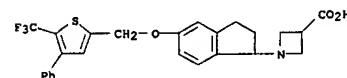
CM 2

CRN 76-05-1

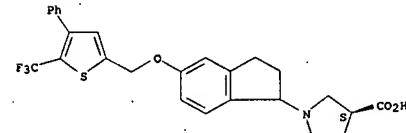
CMF C2 H F3 O2



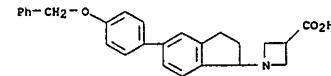
RN 721948-71-6 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[(4-phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



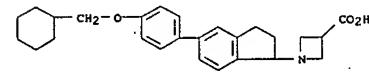
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



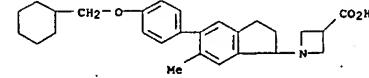
RN 721948-79-4 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-(4-(phenylmethoxy)phenyl)-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-80-7 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[5-(4-(cyclohexylmethoxy)phenyl)-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-81-8 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[5-(4-(cyclohexylmethoxy)phenyl)-2,3-dihydro-6-methyl-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



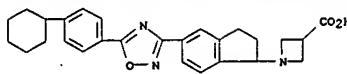
RN 721948-82-9 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[5-(4-cyclohexylphenyl)-1,2,4-oxadiazol-3-yl]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

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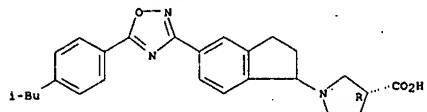
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



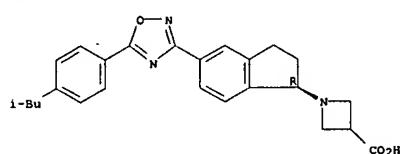
RN 721948-83-0 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 1-[2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 721948-85-2 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



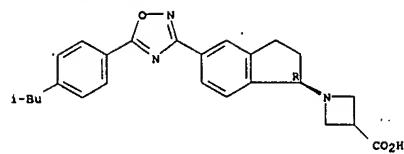
RN 721948-86-3 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-85-2
CMF C25 H27 N3 O3

Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

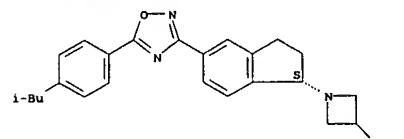


CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 721948-87-4 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 721948-88-5 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

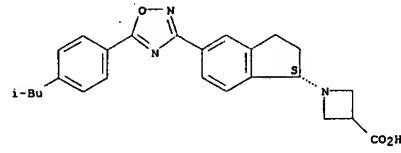
CM 1

CRN 721948-87-4

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CMF C25 H27 N3 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004565202 CAPLUS

DOCUMENT NUMBER: 141:123554

TITLE: Preparation of

4-[(4-(carboxyethyl)piperidinyl)methyl]pyrrolidines as modulators of chemokine receptor activity

INVENTOR(S): Beresis, Richard; Berger, Richard; Colletti, Steven L.; Parsons, William H.; Rupprecht, Kathleen M.; Johanson, Jill N.; Kayser, Frank; Kovacs, Ernest W.; Merck & Co., Inc., USA

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058702	A2	20040715	WO 2003-US40785	20031219
WO 2004058702	A3	20040826		

W: AF, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BY, GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, A2, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,

TG: AU 2003301197 A1 20040722 AU 2003-301197 20031219
PRIORITY APPLN. INFO.: US 2002-436052P P 20021223

WO 2003-US40785 W 20031219

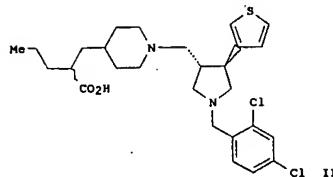
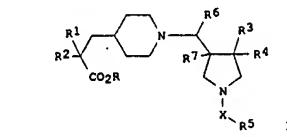
OTHER SOURCE(S): MARPAT 141:123554
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Karen Cheng

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L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



AB Carboxypiperidinylmethyl pyrrolidines of formula I (R = H, alkyl; R1 = H, alkyl carboxyalkyl, CONH₂, etc.; R2 = H, alkyl, alkenyl, benzyl; R1R2 = (CH₂)₂₋₅; R3 = Ph, heterocyclyl, alkyl, cyclosiylkyl, etc.; R4, R6, R7 = H, alkyl; R5 = Ph, naphthyl, indanyl, heterocyclyl, etc.; X = (CH₂)₁₋₃, CO, CH-alkyl) are prepared for use as modulators of chemokine receptor activity.

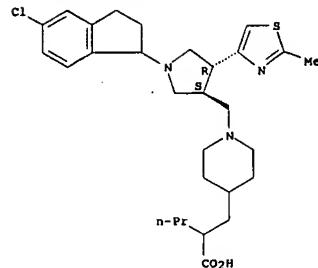
In particular, these compds. are useful as modulators of the chemokine receptors CCR-3 and/or CCR-5. Thus, II was prepared from Me 2-(piperidin-4-ylmethyl)pentanoate (preparation given) and (3R,4S)-1-(2,4-dichlorobenzyl)-4-thien-3-ylpyrrolidine-3-carboxaldehyde.

IT 721454-65-5 SP 721454-66-6P 721455-00-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxyethylpiperidinylmethyl pyrrolidines as modulators of chemokine receptor activity)

RN 721454-65-5 CAPLUS
CN 4-Piperidinepropanoic acid,
1-[(3R,4S)-1-(3-chloro-2,3-dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinyl]methyl]- α -propyl-, rel-(9CI) (CA INDEX NAME)

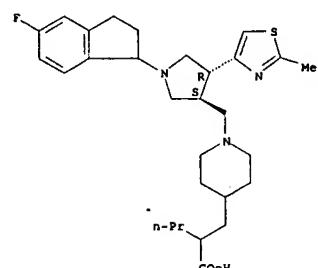
Relative stereochemistry.

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 721454-66-6 CAPLUS
CN 4-Piperidinepropanoic acid,
1-[(3R,4S)-1-(5-fluoro-2,3-dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinyl]methyl]- α -propyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

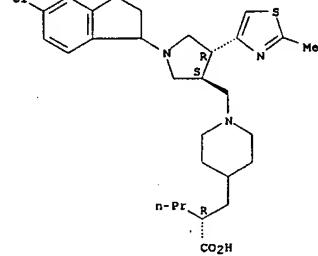


RN 721455-00-1 CAPLUS
CN 4-Piperidinepropanoic acid,
1-[(3S,4R)-1-(5-chloro-2,3-dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinyl]methyl]- α -propyl-,

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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